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(54) HERBICIDAL ARYL AND HETEROARYL PYRIMIDINES

ARYL- UND HETEROARYL- PYRIMIDINE ALS HERBIZIDE

PYRIMIDINES HERBICIDES D'ARYLE ET D'HETEROARYLE

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PRYOR ET AL 'Purification of maize alcohol
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pyrazoles.'
- **AUST J.CHEM., vol. 32, 1979 pages 669-679,**
HARRIS ET AL 'Synthetic Plant Growth
Regulators' cited in the application

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DescriptionBACKGROUND OF THE INVENTION

5 [0001] This invention relates to novel substituted aryl and heteroaryl pyrimidines, their use as herbicides and agricultural compositions comprising the same.

[0002] Various pesticidal aryl and heteroaryl pyrimidines are known. U.S. Patent No. 4,752,324 discloses 2-(2-alkyl-6-arylpyrimidin-4-yl)nicotinic acid derivatives having herbicidal activity. DE 40 31 798 describes fungicidal substituted pyridylpyrimidines. Furthermore, Harris et al. Aust. J. Chem., 1979, 32, 669-679, describes the plant growth regulating 10 properties of diaryl heterocyclic compounds.

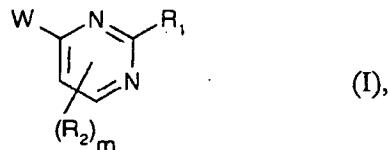
DESCRIPTION OF THE INVENTION

15 [0003] It has now been discovered that certain aryl and heteroaryl pyrimidines substituted at the 2 and 4-position of the pyrimidine ring exhibit herbicidal and plant growth regulating activity, when applied either pre or post emergence and used against annual and perennial grasses and broad leaf weeds.

[0004] The terms "herbicide" and "herbicidal" are used herein to denote the inhibitive control or modification of 20 undesired plant growth. Inhibitive control and modification include all deviations from natural development such as, for example, total killing, growth retardation, defoliation, desiccation, regulation, stunting, tillering, stimulation, leaf burn, and dwarfing. The term "herbically effective amount" is used to denote any amount which achieves such control or modification when applied to the undesired plants themselves or to the area in which these plants are growing. The term "plants" is intended to include germinant seeds, emerging seedlings and established vegetation, including both roots and above-ground portions.

[0005] More particularly, this invention concerns compounds of the general formula I

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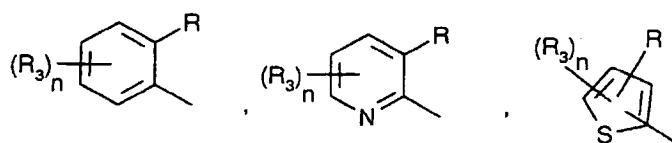


wherein

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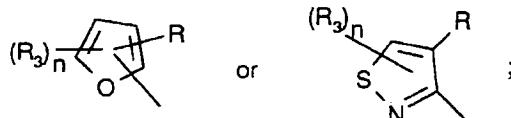
W is

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45

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55

n is 1 to 4;

R is CO_2R^4 , CHO , $\text{CONH-O-CH}_2\text{CO}_2\text{R}^4$, COSR^4 , $\text{CO}_2\text{CHR}^5\text{OCOR}^6$ or CH=N-OR^4 ;

5 R¹ is Ar, (Z)_xY-Ar, or ZAr wherein Ar is an optionally substituted aryl or hetero aryl group selected from the group consisting of phenyl, pyridyl, piperonyl, naphthyl, indolyl, quinolyl, isoquinolyl, quinoxaliny, quinazolinyl, benzoxazolyl, benzothiazolyl, phenanthryl, pyridyl-N-oxide, anthranilyl, pyrimidinyl, pyrazinyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, isoxazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl and thiadiazolyl wherein the optional substituents are phenoxy, halo, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₁-C₆-haloalkyl, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkylsulfonyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkoxy-C₁-C₆-alkyl, cyano, nitro, C₁-C₆-alkoxycarbonyl, amino, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, hydroxy, Y is O, S or NH; Z is an optionally substituted C₁-C₃alkyl, C₂-C₄alkynyl or an optionally substituted C₂-C₄alkenyl, wherein the substituents are independently C₁-C₆-alkyl and halogen, x is 0 to 2;

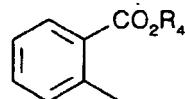
10 10 R² is independently hydrogen, halogen, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₁-C₆-haloalkyl, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkylsulfonyl, C₁-C₆-alkoxy, C₁-C₆-alkoxy-C₁-C₆-alkyl, cyano, nitro, amino, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, CO₂R⁴ or hydroxy, m is 1 to 2;

15 15 R⁴ is hydrogen, alkali or alkaline earth cation, ammonium cation, substituted ammonium cation, phosphonium cation, C₁-C₆-alkyl phosphonium cation, tri-C₁-C₆-alkylsulfonium cation, tri-C₁-C₆-alkylsulfoxonium cation, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, optionally substituted phenyl or optionally substituted phenyl-C₁-C₆-alkyl;

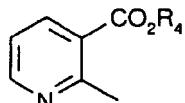
20 20 R⁵ is hydrogen or C₁-C₆-alkyl; and

25 25 R⁶ is C₁-C₆-alkyl, C₂-C₆-alkenyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, optionally substituted phenyl and optionally substituted phenyl-C₁-C₆-alkyl, wherein the optional substituents are C₁-C₆-alkyl, C₁-C₆-haloalkyl, halogen, C₁-C₆-alkoxy, C₂-C₆-alkenyl, cyano and nitro;

25 provided that (i) when R¹ is phenyl; W is not



35 35 and (ii) when R¹ is optionally substituted phenyl and W is



45 45 R² is not C₁-C₆-alkyl or C₂-C₆-alkenyl.

[0006] The term "alkyl" as used herein includes straight, branched and cyclo alkyl groups, preferably containing up to 6 carbon atoms. This applies to alkyl moieties contained for example, in "haloalkyl" and each alkyl group of "alkoxy-alkyl". The term "alkenyl" is represented by 2 to 6 carbon atoms.

[0007] Suitable halogen groups include fluorine, chlorine, bromine, and iodine. Haloalkyl groups may be substituted by one or more halogen atoms. The term "alkali cation" is defined as metals of group 1A of the periodic chart and particularly include sodium and potassium. The term "alkaline earth cation" includes magnesium, calcium, strontium and barium.

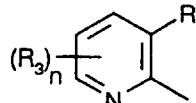
[0008] The term "phenylalkyl" refers to an alkyl group substituted with a phenyl. The terms "optionally substituted phenyl", "optionally substituted phenylalkyl" and "optionally substituted phenoxy" refers to a phenyl, phenylalkyl, or phenoxy group substituted at one or more of the ring carbon atoms with a group selected from alkyl, haloalkyl, halogen, alkoxy, alkenyl, cyano, and nitro.

[0009] The term "substituted ammonium cation" refers to an ammonium cation substituted by a C₁-C₂₀alkyl, di-C₁-C₂₀alkyl, tri-C₁-C₂₀alkyl, tetra-C₁-C₂₀alkyl, hydroxy-C₁-C₅alkyl, di(hydroxy-C₁-C₅alkyl), tri(hydroxy-C₁-C₅alkyl),

C_{1-5} alkoxy C_1-C_5 alkyl, hydroxy- C_1-C_5 alkoxy- C_1-C_5 alkyl or C_1-C_5 alkoxycarbonyl- C_1-C_5 alkyl group.

[0010] A particularly preferred subgroup of compounds of formula I are compounds wherein

5 (i) W is



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(ii) R is CO_2R^4 , CHO, CONH-O- $CH_2CO_2R^4$, COSR⁴, $CO_2CHR^5OCOR^6$ or $CH=NOR^4$;

15 (iii) R¹ is Ar, (Z)_xYAr or ZAr wherein Ar is an optionally substituted phenyl, pyridyl, naphthyl, indolyl, piperonyl, quinolyl, benzoxazolyl, benzothiazolyl, anthranilyl or phenanthryl; Y is O, S or NH; Z is an optionally substituted C_1-C_3 alkyl, C_2-C_4 alkynyl or optionally substituted C_2-C_4 alkenyl wherein the substituents are independently alkyl and halogen and x is 0 to 2;

20 (iv) R² is hydrogen, CO_2R^4 or C_1-C_6 -alkoxy;

(v) R³ is hydrogen or halogen.

25 (vi) R⁴ is hydrogen, alkali or alkaline earth cation; ammonium cation, substituted ammonium cation, phosphonium cation, C_1-C_6 -alkyl phosphonium cation, tri- C_1-C_6 -alkylsulfonium cation, tri- C_1-C_6 -alkylsulfoxonium cation, C_1-C_6 -alkyl, C_2-C_6 -alkenyl, C_1-C_6 -haloalkyl, C_1-C_6 -alkoxy- C_1-C_6 -alkyl, optionally substituted phenyl or optionally substituted phenyl- C_1-C_6 -alkyl;

30 (vii) R⁵ is hydrogen or C_1-C_6 -alkyl; and

(viii) R⁶ is C_1-C_6 -alkyl, C_2-C_6 -alkenyl, C_1-C_6 -haloalkyl, C_1-C_6 -alkoxy- C_1-C_6 -alkyl, optionally substituted phenyl or optionally substituted phenyl- C_1-C_6 -alkyl.

[0011] Preferably R is $CO_2CHR^5OCOR^6$ or CO_2R^4 wherein R⁴ is hydrogen, C_1-C_6 -alkyl, alkali or alkaline earth cations, ammonium cation, substituted ammonium cation, phosphonium cation, C_1-C_6 -alkyl phosphonium cation, tri- C_1-C_6 -alkylsulfonium cation, or tri- C_1-C_6 -alkyl sulfoxonium cation and

R¹ is optionally substituted phenyl, pyridyl, naphthyl, quinolyl, piperonyl, (Z)_xOphenyl and (Z)phenyl wherein Z is C_1-C_3 alkyl, C_2-C_4 alkynyl or C_2-C_4 alkenyl and x is 1.

[0012] More preferably R is CO_2R^4 wherein R⁴ is hydrogen, Na, NH₄, K, Ca, Mg, trimethyl sulfonium, trimethyl sulfoxonium or isopropyl ammonium; and

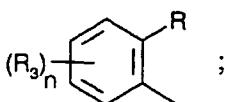
40 R¹ is phenyl or substituted phenyl wherein the substituents are independently methyl, methoxy, chloro, fluoro, amino, C_1-C_6 -haloalkoxy, nitro or C_1-C_6 -haloalkyl; and

R² is hydrogen, C_1-C_6 -alkoxy, or CO_2R^4 .

45 [0013] Another particularly preferred subgroup of compounds of formula I are compounds wherein

(i) W is

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(ii) R is CO_2R^4 , CHO, CONH-O- $CH_2CO_2R^4$, COSR⁴, $CO_2CHR^5OCOR^6$ or $CH=NOR^4$,

(iii) R^1 is $Ar, (Z)_xYAr$ or ZAr wherein Ar is an optionally substituted phenyl, pyridyl, naphthyl, indolyl, piperonyl, quinolyl, benzoxazolyl, benzothiazolyl, anthranilyl or phenanthryl; Y is O, S or NH ; Z is an optionally substituted C_1-C_3 alkyl, C_2-C_4 alkynyl or optionally substituted C_2-C_4 alkenyl wherein the substituents are independently alkyl and halogen and x is 0 to 2;

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(iv) R^2 is hydrogen, CO_2R^4 , C_1-C_6 -alkoxy or C_1-C_6 -alkyl;

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(v) R^3 is hydrogen or halogen and n is 1 or 2;

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(vi) R^4 is hydrogen, alkali or alkaline earth cation, ammonium cation, substituted ammonium cation, phosphonium cation, C_1-C_6 -alkyl phosphonium cation, tri- C_1-C_6 -alkylsulfonium cation, tri- C_1-C_6 -alkylsulfoxonium cation, C_1-C_6 -alkyl, C_2-C_6 -alkenyl, C_1-C_6 -haloalkyl, C_1-C_6 -alkoxy- C_1-C_6 -alkyl, optionally substituted phenyl or optionally substituted phenyl- C_1-C_6 -alkyl;

20

(vii) R^5 is hydrogen or C_1-C_6 -alkyl; and

(viii) R^6 is C_1-C_6 -alkyl, C_2-C_6 -alkenyl, C_1-C_6 -haloalkyl, C_1-C_6 -alkoxy- C_1-C_6 -alkyl, optionally substituted phenyl or optionally substituted phenyl- C_1-C_6 -alkyl.

25

[0014] Preferably R is $CO_2CHR^5OCOR^6$ or CO_2R^4 wherein R^4 is hydrogen, C_1-C_6 -alkyl, alkali or alkaline earth cations, ammonium cation, substituted ammonium cation, phosphonium cation, C_1-C_6 -alkyl phosphonium cation, tri- C_1-C_6 -alkylsulfonium cation, or tri- C_1-C_6 -alkyl sulfoxonium cation and

R^1 is optionally substituted phenyl, pyridyl, naphthyl, quinolyl, piperonyl, $(Z)_xO$ phenyl and (Z) phenyl wherein Z is C_1-C_3 alkyl, C_2-C_4 alkynyl or C_2-C_4 alkenyl and x is 1.

30

[0015] More preferably R is CO_2R^4 wherein R^4 is hydrogen, Na, NH_4, K, Ca, Mg , trimethyl sulfonium, trimethyl sulfoxonium or isopropyl ammonium; and

R^1 is phenyl or substituted phenyl wherein the substituents are independently methyl, methoxy, chloro, fluoro, amino, C_1-C_6 -haloalkoxy, nitro or C_1-C_6 -haloalkyl and R^3 is halogen.

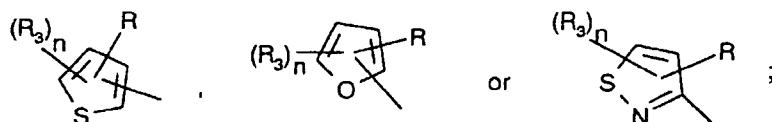
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[0016] Another preferred sub group of compounds of Formula I include the compounds wherein R is CO_2R^4 ; R^4 is hydrogen, Na, NH_4, K, Ca, Mg , trimethylsulfonium, trimethylsulfoxonium or isopropylammonium; R^1 is $Ar, (Z)_xYAr$ or ZAr wherein Ar is an optionally substituted phenyl, pyridyl, naphthyl, indolyl, piperonyl, quinolyl, benzoxazolyl, benzothiazolyl or phenanthryl wherein the optional substituents are halo, C_1-C_6 -alkyl, C_2-C_6 -alkenyl, C_1-C_6 -haloalkyl, C_1-C_6 -alkylthio, C_1-C_6 -alkylsulfinyl, C_1-C_6 -alkylsulfonyl, C_1-C_6 -alkoxy, C_1-C_6 -haloalkoxy, C_1-C_6 -alkoxy- C_1-C_6 -alkyl, cyano, nitro, C_1-C_6 -alkoxycarbonyl, amino, C_1-C_6 -alkylamino, di- C_1-C_6 -alkylamino or hydroxy; Y is O, S or NH , preferably O ; Z is an optionally substituted C_1-C_3 alkyl, C_2-C_4 alkynyl or an optionally substituted C_2-C_4 alkenyl wherein the substituents are independently C_1-C_6 -alkyl and halogen; and x is 0 to 2.

[0017] Still another preferred subgroup of compounds of Formula I include the compounds wherein

W is

40



R is CO_2R^4 ; CHO ; $CONH-O-CH_2CO_2R^4$; $COSR^4$; $CO_2CHR^5OCOR^6$ or $CH=NOR^4$;

50

R^1 is Ar, Z_xOAr or ZAr wherein Ar is an optionally substituted phenyl, pyridyl, naphthyl, indolyl, piperonyl, quinolyl, benzoxazolyl, benzothiazolyl or phenanthryl;

R^2 is hydrogen; and

55

R^3 is hydrogen or halogen.

A further preferred subgroup of compounds of Formula I includes the compounds wherein R is CO_2R^4 ; R^4 is hydrogen, Na, NH_4, K, Ca, Mg , trimethylsulfonium, trimethylsulfoxonium or isopropylammonium; R^1 is $Ar, (Z)_xYAr$ or ZAr wherein

Ar is an optionally substituted phenyl, pyridyl, naphthyl, indolyl, piperonyl, quinolyl, benzoxazolyl, benzothiazolyl, anthranyl or phenanthryl wherein the optional substituents are halo, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₁-C₆-haloalkyl, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl; C₁-C₆-alkylsulfonyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy C₁-C₆-alkoxy-C₁-C₆-alkyl, cyano, nitro, C₁-C₆-alkoxycarbonyl, amino, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino or hydroxy; Y is O, S or NH; Z is an optionally substituted C₁-C₃-alkyl, C₂-C₄-alkynyl, or an optionally substituted C₂-C₄-alkenyl wherein the substituents are independently C₁-C₆-alkyl and halogen; and X is 0 to 2.

[0018] In another embodiment the invention includes a herbicidal composition comprising a herbicidally effective amount of a compound of Claim 1 in association with an agriculturally acceptable diluent.

[0019] A general process for making the compounds of this invention is as follows.

[0020] Appropriately substituted 1-(aryl or heteroaryl)-3-(N,N-dimethylamino)prop-2-en-1-one is heated with an appropriately substituted amidine or amidine hydrochloride and a (optional) base, such as sodium methoxide, in a suitable solvent, such as methanol, to afford the substituted 4-(aryl or heteroaryl)pyrimidine.

[0021] The substituted amidines used in this process were either purchased or prepared from commercially available starting materials. For example, the procedure of R.S.Garigipati (*Tetrahedron Letters*, 31, 1969 (1990)) was used to

15 prepare amidines from the appropriately substituted nitrile by reaction with chloromethylaluminum amide in toluene.

[0022] The process for making the compounds of this invention will be more fully understood by reference to the following examples.

EXAMPLE 1

a) Preparation of 1-(3-methoxycarbonylpyridin-2-yl)-3-(N,N-dimethylamino)prop-2-en-1-one.

[0023] A suspension of 27.1 g (164 mmol) 2-acetylpyridine-3-carboxylic acid in 200 mL toluene is heated to reflux to remove water by azeotropic distillation. After approximately 20 mL of distillate is collected, the solution is cooled to ambient temperature and 54 mL N,N-dimethylformamide dimethylacetal is added dropwise. The brown solution is heated to reflux for 5 h, allowed to cool and concentrated to low volume *in vacuo*. This solution is treated with diethyl ether and stirred overnight. The orange crystals (mp 126-129°C) are collected by vacuum filtration. The ¹H NMR and mass spectra are consistent with 1-(3-methoxycarbonylpyridin-2-yl)-3-(N,N-dimethylamino)prop-2-en-1-one.

b) Preparation of 2-[4-(2-phenyl)pyrimidinyl]-3-pyridinecarboxylic acid (Compound 7 in Table 1).

[0024] To a solution of 2.00 g (8.54 mmol) 1-(3-methoxycarbonylpyridin-2-yl)-3-(N,N-dimethylamino)prop-2-en-1-one and 1.50 g (8.54 mmol) benzimidine hydrochloride hydrate in 100 mL anhydrous methanol, is added 4.0 mL 25% sodium methoxide in methanol. The resulting dark solution is refluxed for 6 h allowing about half of the methanol to distill off, then stirred at ambient temperature for 72 h and evaporated to dryness *in vacuo*. The residue is partitioned between ethyl acetate and 0.5 M aqueous sodium hydroxide. The aqueous layer is washed once with ethyl acetate and acidified to pH 3 with concentrated HCl. The solid precipitate is collected by vacuum filtration and dried *in vacuo* at 50°C for 1 h to yield a tan solid, m.p. 169-170°C. The ¹H NMR and mass spectra are consistent with the desired 2-[4-(2-phenyl)pyrimidinyl]-3-pyridinecarboxylic acid.

c) Preparation of 2-[4-(2-phenyl)pyrimidinyl]-3-pyridinecarboxylic acid, monosodium salt (Compound 1 is in Table 1).

[0025] To a slurry of 1.00 g (3.61 mmol) 2-[4-(2-phenyl)pyrimidinyl]-3-pyridinecarboxylic acid in 10 mL methanol, is added 0.82 mL (3.61 mmol) 25% sodium methoxide in methanol. The solution is stirred for 5 min and evaporated *in vacuo* to yield a solid foam. The ¹H NMR and mass spectra are consistent with the 2-[4-(2-phenyl)pyrimidinyl]-3-pyridinecarboxylic acid, monosodium salt.

EXAMPLE 2

a) Preparation of 2-[4-[2-(3-chlorophenyl)]pyrimidinyl]-3-pyridinecarboxylic acid (Compound 14 in Table 1).

[0026] To a solution of 4.29 g (18.32 mmol) 1-(3-methoxycarbonylpyridin-2-yl)-3-(N,N-dimethylamino)prop-2-en-1-one and 3.50 g (18.32 mmol) 3-chlorobenzimidine hydrochloride in 150 mL methanol, is added 8.5 mL 25% sodium methoxide in methanol. The resulting brown solution is refluxed for approximately 6 h allowing about half of the methanol to distill off, then allowed to cool, and evaporated *in vacuo*. The residue is partitioned between water and ethyl acetate. An insoluble solid is collected by vacuum filtration. This solid is dissolved in 1 M aqueous sodium hydroxide and acidified to pH 3 with concentrated HCl. The resulting precipitate is collected by vacuum filtration and dried to yield a tan solid (mp 163-166°C). The ¹H NMR and mass spectra are consistent with those expected for 2-[4-[2-(3-chlorophenyl)]pyri-

midinyl]-3-pyridinecarboxylic acid.

EXAMPLE 3

5 Preparation of 2-[4-[2-(4-fluorophenyl)]pyrimidinyl]-3-pyridinecarboxylic acid (Compound 16 in Table 1).

[0027] To a solution of 5.88 g (25.1 mmol) 1-(3-methoxycarbonylpyridin-2-yl)-3-(N,N-dimethylamino)prop-2-en-1-one and 3.47 g (25.1 mmol) 4-fluorobenzamidine in 150 mL methanol is added 12.1 mL (53 mmol) 25% sodium methoxide in methanol. The solution is stirred and heated to reflux allowing some of the methanol to distill off. After 2 hours, the 10 heat is turned off and the reaction is stirred at room temperature overnight.

[0028] The solution is refluxed for another 4 hours with removal of the distillate. The reaction is cooled and evaporated *in vacuo*. The residue is taken up in water and washed twice with ethyl acetate. A solid precipitate is formed in the ethyl acetate wash and collected by vacuum filtration. The solid is dissolved in 1 M NaOH, and acidified with concentrated HCl. The resulting solid is collected by vacuum filtration. After drying in *vacuo*, a white solid is obtained (m.p. 194-196°C).

[0029] The original aqueous layer is acidified with concentrated HCl and the solid is collected by vacuum filtration. This solid is recrystallized from ethyl acetate to afford light tan crystals (m.p. 195-196°C). The ¹H NMR and mass spectra of both solids are identical and consistent with the desired 2-[4-[2-(4-fluorophenyl)]pyrimidinyl]-3-pyridinecarboxylic acid.

EXAMPLE 4

20 Preparation of 2-[4-[2-(3-chloro-4-methylphenyl)]pyrimidinyl]-3-pyridinecarboxylic acid (Compound 24 in Table 1).

25 [0030] To a solution of 3.47 g (14.8 mmol) 1-(3-methoxycarbonylpyridin-2-yl)-3-(N,N-dimethylamino)prop-2-en-1-one and 2.50 g (14.8 mmol) 3-chloro-4-methylbenzamidine in 150 mL methanol, is added 6.8 mL 25% sodium methoxide in methanol. The resulting solution is refluxed for 2 hours allowing about half of the methanol to distill off and stirred at ambient temperature over a weekend. Refluxing is continued for 4 additional hours, the mixture is cooled and evaporated *in vacuo*. The residue is treated with 1 M aqueous sodium hydroxide and filtered to remove an insoluble solid. 30 The filtrate is washed with ethyl acetate, acidified to pH 3 with concentrated HCl, and extracted with 3 portions of ethyl acetate, which upon evaporation *in vacuo*, afforded a tan solid. The insoluble solid obtained from the initial filtration is suspended in 1 M aqueous sodium hydroxide at 50°C until dissolution, washed with ethyl acetate, filtered, and acidified with concentrated HCl. The resulting aqueous solution is extracted with 2 portions of ethyl acetate. The ethyl acetate extracts are washed with water, dried over magnesium sulfate, filtered, and evaporated *in vacuo* to give a light tan 35 solid, which is combined with the 0.20 g of tan solid obtained above. The ¹H NMR and mass spectra of this combination (mp 168-172°C) are consistent with the desired 2-[4-[2-(3-chloro-4-methylphenyl)]pyrimidinyl]-3-pyridinecarboxylic acid.

EXAMPLE 5

40 Preparation of 2-[4-[2-(3-chlorophenoxy)methyl]pyrimidinyl]-3-pyridinecarboxylic acid (Compound 22 in Table 1).

45 [0031] To a solution of 2.66 g (11.4 mmol) 1-(3-methoxycarbonylpyridin-2-yl)-3-(N,N-dimethylamino)prop-2-en-1-one and 2.10 g (11.4 mmol) 3-chlorophenoxyacetamidine in 100 mL methanol, is added 5.2 mL (22.8 mmol) 25% sodium methoxide in methanol. The resulting solution is gently refluxed overnight allowing about half of the methanol to distill off. The reaction mixture is evaporated *in vacuo* and the residue is partitioned between 0.5 M aqueous sodium hydroxide and ethyl acetate. The aqueous layer is washed with ethyl acetate, then acidified to pH 3 with concentrated HCl. The crude solid product is collected by vacuum filtration and purified by silica gel chromatography (2:1 ethyl acetate: methanol) to afford a tan solid (mp 155-158°C). The ¹H NMR and mass spectra of this solid are as expected for 2-[4-[2-(3-chlorophenoxy)methyl]pyrimidinyl]-3-pyridinecarboxylic acid.

EXAMPLE 6

55 Preparation of 2-[4-[2-(2-Phenyl)ethenyl]pyrimidine]-3-pyridinecarboxylic acid (Compound 26 in Table 1).

[0032] To a solution of 2.72 g (11.6 mmol) 1-(3-methoxycarbonylpyridin-2-yl)-3-(N,N-dimethylamino)prop-2-en-1-one and 1.86 g (11.6 mmol) cinnamamidine in 50 mL methanol, is added 8.0 mL 25% sodium methoxide in methanol. The resulting dark solution is refluxed for 2 hours with removal of the distillate. After stirring at ambient temperature for 72

hours, the reaction mixture is treated with 2.0 mL acetic acid and evaporated to dryness *in vacuo*. The residue is partitioned between saturated aqueous sodium bicarbonate and ethyl acetate. The aqueous layer is washed with two portions of ethyl acetate, acidified to pH 4 with concentrated HCl and filtered to remove crude solid product. The filtrate is extracted with ethyl acetate and evaporated *in vacuo* to yield a brown oil. The crude solid is combined with the brown oil and purified by thin layer preparatory chromatography on silica gel with 180:20:1 dichloromethane: methanol:acetic acid to afford a tan solid (mp 167°C decomposition). The ¹H NMR spectrum of this solid is as expected for 2-[2-(2-phenyl)ethenyl]pyrimidinyl]-3-pyridinecarboxylic acid.

EXAMPLE 7

Preparation of 2-[4-[2-(1-naphthyl)]pyrimidinyl]-3-pyridinecarboxylic acid (Compound 27 in Table 1).

[0033] To a solution of 4.46 g (19 mmol) 1-(3-methoxycarbonylpyridin-2-yl)-3-(N,N-dimethylamino)prop-2-en-1-one and 3.24 g (19 mmol) 1-naphthamidine in 50 mL methanol, is added 13 mL (57 mmol) 25% sodium methoxide in methanol. The resulting dark solution is refluxed for 18 hours. The reaction mixture is treated with 3.3 mL acetic acid and evaporated *in vacuo*. The residue is chromatographed on silica gel with 4:1 ethyl acetate:methanol. The product containing fractions are evaporated *in vacuo*, suspended in ethyl acetate, filtered and evaporated *in vacuo* to yield slightly impure product. This solid is rechromatographed on silica gel with 90:10:1 ethyl acetate:methanol:acetic acid to finally afford a tan solid (mp 205-207°C). The ¹H NMR spectrum of this solid is as expected for 2-[4-[2-(1-naphthyl)]pyrimidinyl]-3-pyridinecarboxylic acid.

[0034] These and other compounds which can be made by the foregoing processes are set forth in Tables 1 and 2 which follow, wherein the various substituent groups are indicated.

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TABLE 1

5	<u>Cpd #</u>	<u>W</u>	<u>R</u>	<u>R'</u>	<u>m.p.°C</u>
10	1		-CO ₂ Na ⁺		>270
15	2		CO ₂ H		192.5-196
20	3		CO ₂ Na ⁺		260 (decomp.)
25	4		CO ₂ H		287-289
30	5		CO ₂ Na ⁺		125 (decomp.)
35	6		CO ₂ Na ⁺		284 (decomp.)
40	7		CO ₂ H		169-170
45					
50					

TABLE 1 (cont)

<u>Cpd #</u>	<u>W</u>	<u>R</u>	<u>R'</u>	<u>m.p. °C</u>	
5					
8		CO ₂ Na ⁺		>270	
10					
15		-C(=O)-NH-OCH ₂ CO ₂ CH ₃		134-140.5	
20	10		CO ₂ H		185 (decomp.)
25	11		CO ₂ Na ⁺		>300
30	12		CO ₂ Na ⁺		265 (decomp.)
35	13		CO ₂ CH ₃		118-119
40	14		CO ₂ H		163-166
45	15		CO ₂ Na ⁺		>280
50					

TABLE 1 (cont)

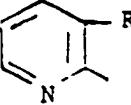
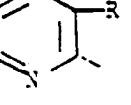
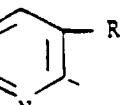
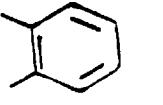
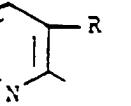
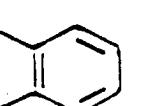
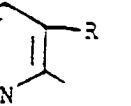
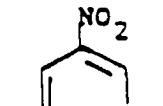
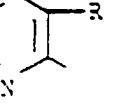
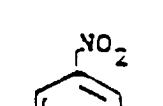
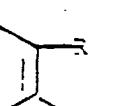
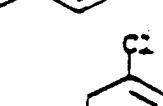
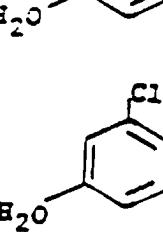
<u>Cpd #</u>	<u>W</u>	<u>R</u>	<u>R'</u>	<u>m.p. °C</u>
5 16		CO ₂ H		195-196
10 17		CO ₂ Na ⁺		>280
15 18		CO ₂ H		160-163
20 19		CO ₂ Na ⁺		64.5-123.5
25 20		CO ₂ H		235-237 (decomp.)
30 21		CO ₂ Na ⁺		282 (decomp.)
35 22		CO ₂ H		155-158
40 23		CO ₂ Na ⁺		245-251
45 50				

TABLE 1 (cont)

Cpd #	W	R	R'	m.p. °C
5				
24		CO ₂ H		168-172
10				
25		CO ₂ Na ⁺		>280
15				
26		CO ₂ H		183-186
20				
27		CO ₂ H		205-207
25				
28		CO ₂ H		156-162
30				
35		CO ₂ H		194-195
40				
30		CO ₂ Na ⁺		>280
45				
50				

TABLE 1 (cont)

	<u>Cpd #</u>	<u>W</u>	<u>R</u>	<u>R'</u>	<u>m.p. °C</u>
5					
10	31		CO ₂ H		233-237
15	32		CO ₂ Na ⁺		>280
20					
25	33		CO ₂ H		212-216
30	34		CO ₂ H		170-172
35	35		CO ₂ H		
40					
45	36		CO ₂ H		75 (decomp.)
50	37		CO ₂ H		115
55					

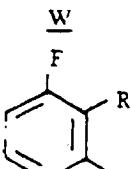
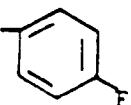
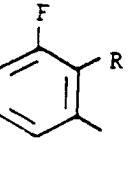
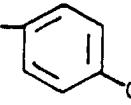
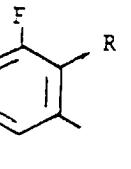
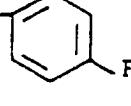
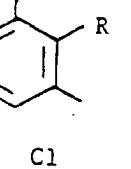
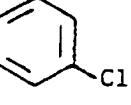
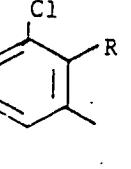
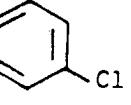
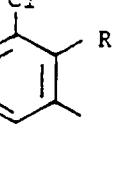
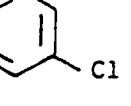
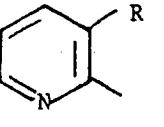
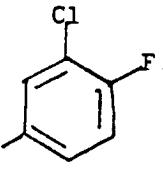
TABLE 1 (cont)

Cpd #	W	R	R'	m.p. °C
5 38		CO ₂ H		169.5-175.5
10 39		CO ₂ H		85-93
15 40		CO ₂ H		205-212
20				
25 41		CO ₂ H		
30 42		CO ₂ H		
35 43		CO ₂ H		
40 44		CO ₂ H		
45 45		CO ₂ H		
50				

TABLE 1 (cont)

<u>Cpd #</u>	<u>W</u>	<u>R</u>	<u>R'</u>	<u>m.p.°C</u>
5 46		CO ₂ H		
10 47		CO ₂ H		
15 48		CO ₂ H		
20 49		CO ₂ Na ⁺		
30 50		CO ₂ H		
35 51		CO ₂ H		
40 52		CO ₂ H		
45 53		CO ₂ H		218-221
50				

TABLE 1 (cont)

<u>Cpd #</u>	<u>W</u>	<u>R</u>	<u>R'</u>	<u>m.p. °C</u>	
5	54		CO_2Na^+		>300
10	55		CO_2Na^+		>300
15	56		CO_2H		154-167
20	57		CO_2H		213-214
25	58		CO_2H		169-173
30	59		CO_2Na^+		>300
35	60		CO_2Na^+		210-217

50

55

TABLE 1 (cont)

	<u>Cpd #</u>	<u>W</u>	<u>R</u>	<u>R'</u>	<u>m.p. °C</u>
5	61		CO ₂ H		127-134
10	62		CO ₂ H		118-127
15	63		CO ₂ Na ⁺		>300
20	64		CO ₂ Na ⁺		>300
25	65		CO ₂ Na ⁺		185-195
30	66		CO ₂ Na ⁺		>300
35	67		CO ₂ H		238-240
40					
45					
50					
55					

TABLE 1 (cont)

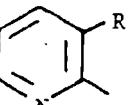
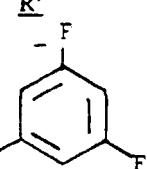
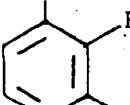
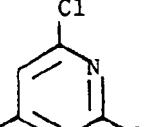
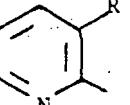
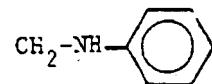
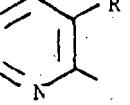
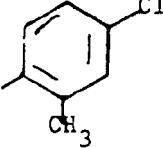
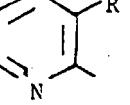
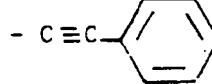
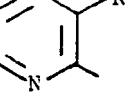
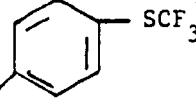
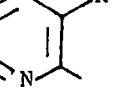
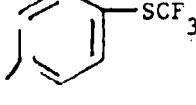
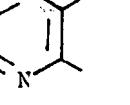
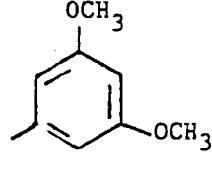
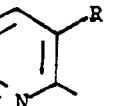
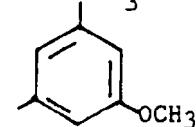
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10 69		CO_2Na^+		176 (decomp)
15 70		CO_2Na^+		>250
20 71		CO_2Na^+		267.50 (decomp)
30 72		CO_2Na^+		
35 73		CO_2H		210-215
40 74		CO_2Na^+		>290
45 75		CO_2H		90-92
50 76		CO_2Na^+		>250
55				

TABLE 1 (cont)

Cpd #	W	R		m.p. °C
5	77		CO ₂ H	138-140
10	78		CO ₂ Na ⁺	210-212
15	79		CO ₂ H	243.5-247
20	80		CO ₂ Na ⁺	>300
25	81		CO ₂ H	107-110
30	82		CO ₂ Na ⁺	>300
35	83		CO ₂ Na ⁺	>300
40	84		CO ₂ Na ⁺	138-141
45				
50				
55				

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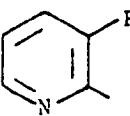
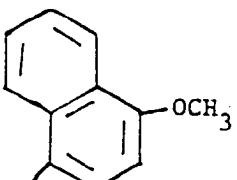
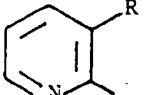
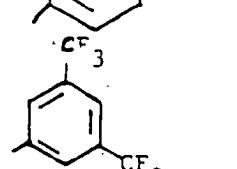
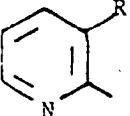
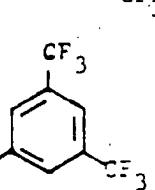
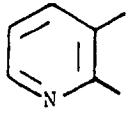
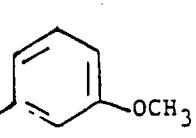
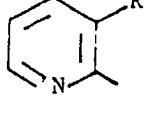
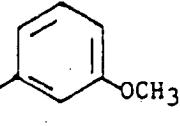
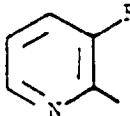
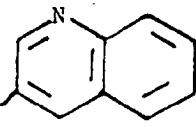
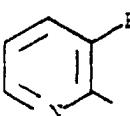
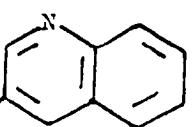
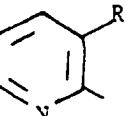
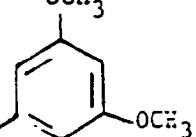
Cpd #	W	R	R'	m.p. °C
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85		CO ₂ Na ⁺		>300
10				
86		CO ₂ H		180-182
15				
87		CO ₂ Na ⁺		>300
20				
88		CO ₂ H		95-98
25				
89		CO ₂ Na ⁺		250-252
30				
90		CO ₂ H		131-133
35				
91		CO ₂ Na ⁺		>300
40				
92		CO ₂ H		264.5-271
45				
50				
55				

TABLE 1 (cont)

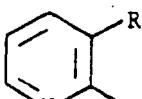
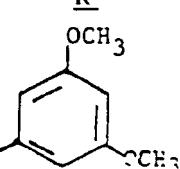
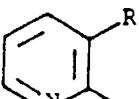
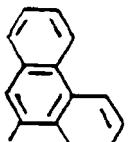
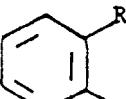
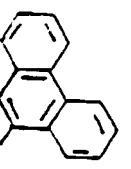
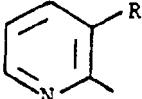
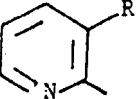
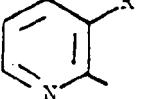
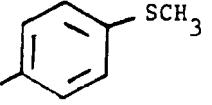
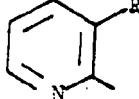
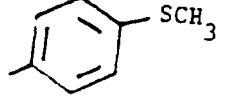
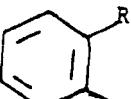
<u>Cpd #</u>	<u>W</u>	<u>R</u>	<u>R'</u>	<u>m.p. °C</u>	
5	93		CO_2Na^+		>300
10	94		CO_2H		95-97
15	95		CO_2Na^+		222-225
20	96		CO_2H	$-\text{CH}_2-\text{S}-\text{C}_6\text{H}_4-\text{Cl}$	86.5-91.5
25	97		CO_2Na^+	$-\text{CH}_2-\text{S}-\text{C}_6\text{H}_4-\text{Cl}$	288.5-291.5
30	98		CO_2H		211-213
35	99		CO_2Na^+		>300
40	100		CO_2H	$-\text{CH}_2-\text{S}-\text{C}_6\text{H}_4-$	oil
45					
50					

TABLE 1 (cont)

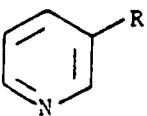
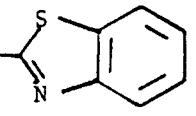
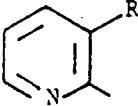
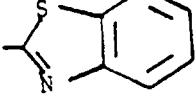
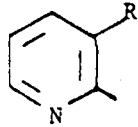
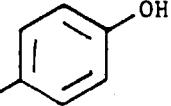
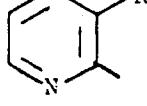
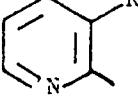
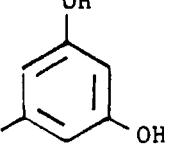
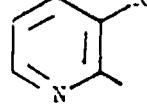
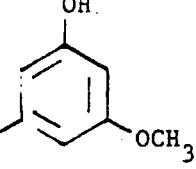
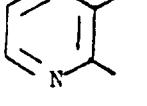
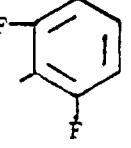
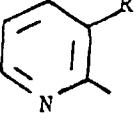
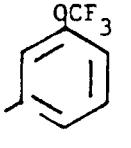
	<u>Cpd #</u>	<u>W</u>	<u>R</u>	<u>R'</u>	<u>m.p. °C</u>
5	101		CO ₂ H		269-270
10					
15	102		CO ₂ Na ⁺		>300
20	103		CO ₂ Na ⁺		>275
25	104		CO ₂ Na ⁺		>290
30	105		CO ₂ Na ⁺		>300
35	106		CO ₂ Na ⁺		>300
40					
45	107		CO ₂ Na ⁺		235
50	108		CO ₂ Na ⁺		278-281
55					

TABLE 1 (cont)

Cpd #	W	R	R ¹	m.p. °C
5				
109		CO ₂ Na ⁺		>290
10				
110		CO ₂ H		209.5-213.5
15				
111		CO ₂ Na ⁺		>300
20				
112		CO ₂ Na ⁺		>300
25				
113		CO ₂ Na ⁺		233-236
30				
114		CO ₂ Na ⁺		> 300
35				
115		CO ₂ Na ⁺		115-119
40				
116		CO ₂ Na ⁺		244 (decomp)
45				
50				
55				

TABLE 1 (cont)

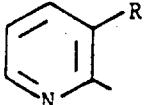
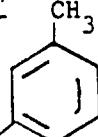
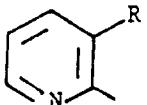
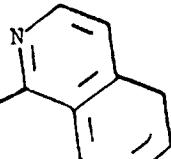
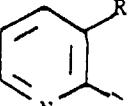
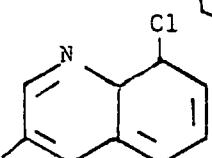
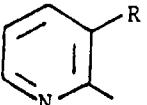
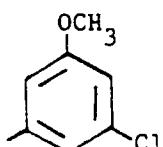
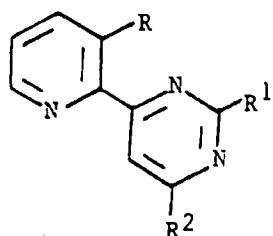
<u>Cpd #</u>	<u>W</u>	<u>R</u>	<u>R'</u>	<u>m.p. °C</u>
5 117		CO ₂ Na ⁺		278.5-281
10 118		CO ₂ Na ⁺		>250
15 119		CO ₂ Na ⁺		>250
20 120		CO ₂ Na ⁺		>290
30				
35				
40				
45				
50				
55				

TABLE 2



	<u>Cpd #</u>	<u>R</u>	<u>R²</u>	<u>R¹</u>	<u>m.p. °C</u>
15	121	CO ₂ Na ⁺	OH		
20	122	CO ₂ Na ⁺	-CO ₂ Na ⁺		
25	123	CO ₂ Na ⁺	-OC ₂ H ₅		
30	124	CO ₂ Na ⁺	OCH ₃		
35	125	CO ₂ Na ⁺	-OC ₂ H ₅		

40 EXAMPLE 8

[0035] The test compounds are weighed and dissolved in a stock solution consisting of acetone:deionized water (1:1) and 0.5% adjuvant mixture. Dilutions from this stock solution are performed to allow for preparation of spray solutions consisting of single doses applied at a level equivalent to either 4.0, 1.0 or 0.25 kg/ha of active ingredient. The solutions are applied by a linear track sprayer set to deliver 1000 L/ha spray volume.

[0036] In pre-emergent studies, each dose of herbicide is applied as a band treatment over the seed zone. Pots containing the seeds are then top-dressed with soil, the plants are grown in the greenhouse and visually evaluated 7 and 19 days after treatment.

[0037] In post-emergence studies, each dose of compound is applied to the foliage of the selected weed seedling species. The plants are allowed to grow in the greenhouse and visually evaluated at 1, 7 and 19 days after treatment. Weed species tested are shown in Table 3. Some compounds of formula I showed activity in the pre-emergent and post emergent studies. Herbicidal control is evaluated as % injury with 100% injury considered complete control. At an application rate of 1.0 kg/ha active ingredient the compounds 1, 3, 5, 7-12, and 19-24 exhibited herbicidal control at greater than 80% for various tested weeds in both pre-emergence and post-emergence screenings.

[0038] In pre-emergence screening on grasses the compounds 60-65, 68, 71-78, 83, 84 and 94 provided greater than 75% control at 1.0 kg/ha on all tested weed species. It is understood that this list does not reflect all obtained data nor encompass all compounds which achieved the given limit.

TABLE 3

Common Name	Genus Species
5	Velvetleaf <u>Abutilon theophrasti</u>
	Redroot Pigweed <u>Amaranthus retroflexus</u>
10	Mustard White <u>Sinapis alba</u>
	Black Nightshade <u>Solanum nigrum</u>
	Wild Oat <u>Avena fatua</u>
	Downy Brome <u>Bromus tectorum</u>
	Barnyardgrass <u>Echinochloa crus-galli</u>
	Green Foxtail <u>Setaria viridis</u>

METHODS OF APPLICATION

[0039] Application of a compound of formula I is made according to conventional procedure to the weeds or their locus using a herbicidally effective amount of the compound, usually from 1 g to 10 kg/ha.

[0040] Compounds according to the invention may be used for the control of both broadleaf and grassy weeds in both preplant incorporation and pre- and post-emergent application. Compounds may also exhibit selectivity in various crops and may thus be suited for use in weed control in crops such as but not limited to corn, cotton, wheat, soybean and rice.

[0041] The optimum usage of a compound of formula I is readily determined by one of ordinary skill in the art using routine testing such as greenhouse testing and small plot field testing. It will depend on the compound employed, the desired effect (a phytotoxic effect requiring a higher rate than a plant growth regulating effect), the conditions of treatment and the like. In general, satisfactory phytotoxic effects are obtained when the compound of formula I is applied at a rate in the range of from 0.001 to 5.0 kg, more preferably of from 0.05 to 2.5 kg per hectare, especially 0.01 to 2.5 kg per hectare.

[0042] The compounds of formula I may be advantageously combined with other herbicides for broad spectrum weed control. Examples of herbicides which can be combined with a compound of the present invention include those selected from carbamates, thiocarbamates, chloroacetamides, triazines, dinitroanilines, benzoic acids, glycerol ethers, pyridazinones, uracils, phenoxy's and ureas for controlling a broad spectrum of weeds.

[0043] The compounds of formula I are conveniently employed as herbicidal compositions in association with agriculturally acceptable diluents. Such compositions also form part of the present invention. They may contain, aside from a compound of formula I as active agent, other active agents, such as herbicides or compounds having antidotal, fungicidal, insecticidal or insect attractant activity. They may be employed in either solid or liquid forms such as a wettable powder, an emulsifiable concentrate, a granule or a microcapsule incorporating conventional diluents. Such compositions may be produced in conventional manner, for example by mixing the active ingredient with a diluent and optionally other formulating ingredients such as surfactants.

[0044] Agriculturally acceptable additives may be employed in herbicidal compositions to improve the performance of the active ingredient and to reduce foaming, caking and corrosion, for example.

[0045] The term "diluent" as used herein means any liquid or solid agriculturally acceptable material which may be added to the active constituent to bring it in an easier or improved applicable form, respectively, to a usable or desirable strength of activity. It can for example be talc, kaolin, diatomaceous earth, xylene or water.

[0046] "Surfactant" as used herein means an agriculturally acceptable material which imparts emulsifiability, spreading, wetting, dispersibility or other surface-modifying properties. Examples of surfactants are sodium lignin sulfonate and lauryl sulfate.

[0047] Particularly formulations to be applied in spraying forms such as water dispersible concentrates or wettable powders may contain surfactants such as wetting and dispersing agents, for example the condensation product of formaldehyde with naphthylene sulphonate, an ethoxylated alkylphenol and an ethoxylated fatty alcohol.

[0048] In general, the formulations include from 0.01 to 99% by weight of active agent and from 0 to 20% by weight of agriculturally acceptable surfactant, and from 0.1 to 99.99% of solid or liquid diluent(s) the active agent consisting either of at least one compound of formula I or mixtures thereof with other active agents. Concentrate forms of compositions generally contain between about 2 and 95%, preferably between about 10 and 90% by weight of active agent.

[0049] Typical herbicidal compositions, according to this invention, are illustrated by the following Examples A, B, C, D and E in which the quantities are in parts by weight.

EXAMPLE A**Preparation of a Soluble Powder**

5 [0050] The water soluble salts of this invention can be hammer milled to a screen size of 100 mesh. The resulting powder will readily dissolve in water for spraying.

EXAMPLE B**10 Preparation of a Wettable Powder**

[0051] 25 Parts of a compound according to this invention are mixed and milled with 25 parts of synthetic fine silica, 2 parts of sodium lauryl sulphate, 3 parts of sodium lignosulfonate and 45 parts of finely divided kaolin until the mean particle size is about 5 micron. The resulting wettable powder is diluted with water to a desired concentration.

15

EXAMPLE C**Preparation of Water Dispersible Granule**

20 [0052] 40 Parts of a water insoluble parent acid compound according to this invention are wet milled in a solution of 10 parts MARASPERSE N-22 (a sodium lignosulfonate) and 50 parts water until a median particle size of 5 micron is reached. The slurry is spray dried on a NIRRO MOBILE MINOR unit at an inlet temperature of 150°C and outlet temperature of 70°C. The resulting granule can be readily dispersed in water for application.

25

EXAMPLE D**[0053] Preparation of a Microcapsule Suspension**

(a) 0.38 Parts of a VINOL 205 (a partially hydrolyzed polyvinyl alcohol) are dissolved in 79.34 parts water.

30 (b) 3.75 Parts of an organic soluble parent acid compound according to this invention are dissolved in 3.75 parts TENNECO 500-100 (a xylene range aromatic solvent). To this solution are added 0.63 parts of SEBACOYL CHLORIDE and 0.88 parts PAPI 135 (polymethylene isocyanate).

(c) 1.89 Parts piperazine and 0.50 parts of NaOH are dissolved in 12.60 parts of water.

35

[0054] Transfer premix (a) to a one quart osterizer and while stirring add premix (b) and sheer for approximately 60 seconds or until a droplet size of 10-20 microns is reached. Immediately add premix (c), continue stirring for 3 hours and neutralize with acetic acid. The resulting capsule suspension may be diluted in water for spraying.

40

EXAMPLE E**Preparation of an Emulsifiable Concentrate**

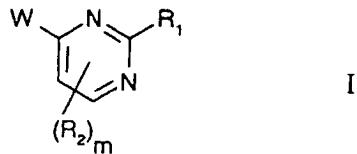
45 [0055] 13 Parts of an organic soluble parent acid compound according to this invention are dissolved in 79 parts of TENNECO 500-100 along with 2 parts TOXIMUL RHF and 6 parts TOXIMUL S. TOXIMULS are a "matched pair"; each containing anionic and nonionic emulsifiers. The stable solution will spontaneously emulsify in water for spraying.

50

Claims

1. A compound of the formula

55

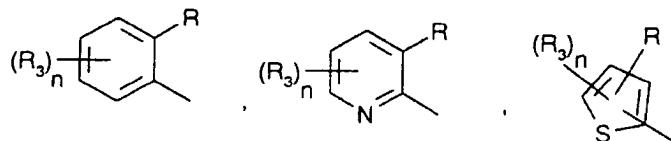


wherein

10

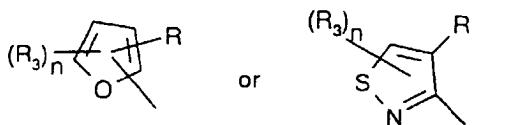
W is

15



20

25



30

R³ is independently hydrogen, halogen, C₁-C₆-alkyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, cyano, C₁-C₆-alkoxycarbonyl, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, or -N(R⁵)-CO-R⁶;

35

n is 1 to 4;

R is CO₂R⁴, CHO, CONH-O-CH₂CO₂R⁴, COSR⁴, CO₂CHR⁶OCOR⁶ or CH=NOR⁴;

40

R¹ is Ar, (Z)_xY-Ar, or ZAr wherein Ar is an optionally substituted aryl or hetero aryl group selected from the group consisting of phenyl, pyridyl, piperonyl, naphthyl, indolyl, quinolyl, isoquinolyl, quinoxalinyl, quinazolinyl, benzoxazolyl, benzothiazolyl, phenanthryl, pyridyl-N-oxide, anthranilyl, pyrimidinyl, pyrazinyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, isoxazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl and thiadiazolyl; wherein the optional substituents are phenoxy, halo, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₁-C₆-haloalkyl, C₁-C₆-haloalkylthio, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkylsulfonyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkoxycarbonyl, amino, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino or hydroxy; Y is O, S or NH; Z is optionally substituted C₁-C₃alkyl, C₂-C₄alkynyl, or an optionally substituted C₂-C₄alkenyl, wherein the substituents are independently C₁-C₆-alkyl and halogen;

45

x is 0 to 2;

50

R² is independently hydrogen, halogen, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₁-C₆-haloalkyl, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkylsulfonyl, C₁-C₆-alkoxy, C₁-C₆-alkoxy-C₁-C₆-alkyl, cyano, nitro, amino, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino, CO₂R⁴ or hydroxy;

55

m is 1 to 2;

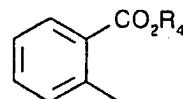
R⁴ is hydrogen, alkali or alkaline earth cation, ammonium cation, substituted ammonium cation, phosphonium cation, C₁-C₆-alkyl phosphonium cation, tri-C₁-C₆-alkylsulfonium cation, tri-C₁-C₆-alkylsulfoxonium cation, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy-C₁-C₆-alkyl, optionally substituted phenyl or optionally

substituted phenyl-C₁-C₆-alkyl;

R⁵ is hydrogen or C₁-C₆-alkyl; and

5 R⁶ is C₁-C₆-alkyl, C₂-C₆-alkenyl, C₁-C₆-haloalkyl, C₁-C₆-alkoxy, -C₁-C₆-alkyl, optionally substituted phenyl or
optionally substituted phenyl-C₁-C₆-alkyl, wherein the optional substituents are C₁-C₆-alkyl, C₁-C₆-haloalkyl,
halogen, C₁-C₆-alkoxy, C₂-C₆-alkenyl, cyano and nitro, and provided that (i) when R¹ is phenyl; W is not

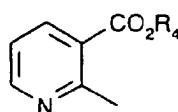
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and (ii) when R¹ is optionally substituted phenyl and W is

20

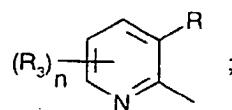


25

R² is not C₁-C₆-alkyl or C₂-C₆-alkenyl.

2. A compound according to Claim 1 wherein W is

30



35

R is CO₂R⁴; CHO; CONH-O-CH₂CO₂R⁴; COSR⁴; CO₂CHR⁵OCOR⁶ or CH=NOR⁴;

R¹ is Ar, Z_xYAr or ZAr wherein Ar is an optionally substituted phenyl, pyridyl, naphthyl, indolyl, piperonyl,
quinolyl, benzoxazolyl, benzothiazolyl, anthranilyl or phenanthryl;

40

R² is hydrogen, CO₂R⁴ or C₁-C₆-alkoxy; and

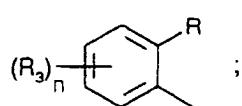
R³ is hydrogen or halogen.

45

3. A compound according to Claim 2 wherein R is CO₂CHR⁵OCOR⁶ or CO₂R⁴; R⁴ is hydrogen, Na, NH₄, K, Ca, Mg,
trimethylsulfonium, trimethyl sulfoxonium or isopropylammonium, R¹ is optionally substituted phenyl, pyridyl, naphthyl,
piperonyl, quinolyl, (Z)phenyl, or (Z)_xOphenyl; Z is C₁-C₃alkyl, C₂-C₄alkynyl or C₂-C₄alkenyl and x is 1.

4. A compound according to Claim 1 wherein W is

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R is CO₂R⁴; CHO; CONH-O-CH₂CO₂R⁴; COSR⁴; CO₂CHR⁵OCOR⁶ or CH=NOR⁴;

R¹ is Ar, Z_xYAr or ZAr wherein Ar is an optionally substituted phenyl, pyridyl, naphthyl, indolyl, piperonyl,

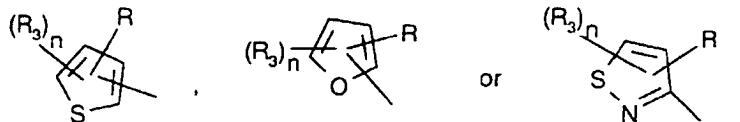
5 quinolyl, benzoxazolyl, benzothiazolyl, anthranilyl or phenanthryl;

R² is hydrogen, C₁-C₆-alkyl, CO₂R⁴, or C₁-C₆-alkoxy; and

R³ is hydrogen or halogen.

10 5. A compound according to Claim 2 wherein R is CO₂CHR⁵OCOR⁶ or CO₂R⁴; R⁴ is hydrogen, Na, NH₄, K, Ca, Mg, trimethylsulfonium, trimethyl sulfoxonium or isopropylammonium; R² is hydrogen and R¹ is optionally substituted phenyl, pyridyl, naphthyl, piperonyl, quinolyl, (Z)_xOphenyl and x is 1.

15 6. A compound according to Claim 1 wherein W is



20 R is CO₂R⁴; CHO; CONH-O-CH₂CO₂R⁴; COSR⁴; CO₂CHR⁵OCOR⁶ or CH=NOR⁴;

25 R¹ is Ar, Z_xOAr or ZAr wherein Ar is an optionally substituted phenyl, pyridyl, naphthyl, indolyl, piperonyl, quinolyl, benzoxazolyl, benzothiazolyl or phenanthryl;

R² is hydrogen; and

R³ is hydrogen or halogen.

30 7. A compound according to Claim 1 wherein

R is CO₂R⁴;

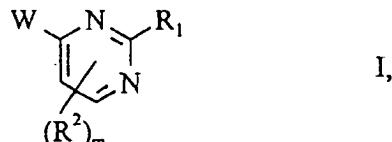
35 R⁴ is hydrogen, Na, NH₄, K, Ca, Mg, trimethylsulfonium, trimethyl sulfoxonium or isopropylammonium;

40 R¹ is Ar, (Z)_xY-Ar or ZAr wherein Ar is an optionally substituted phenyl, pyridyl, naphthyl, indolyl, piperonyl, quinolyl, benzoxazolyl, benzothiazolyl, anthranilyl or phenanthryl wherein the optional substituents are halo, C₁-C₆-alkyl, C₂-C₆-alkenyl, C₁-C₆-haloalkyl, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkoxy, C₁-C₆-haloalkoxy, C₁-C₆-alkoxy-C₁-C₆-alkyl, cyano, nitro, C₁-C₆-alkoxycarbonyl, amino, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino or hydroxy; Y is O, S or NH; Z is an optionally substituted C₁-C₃alkyl, C₂-C₄alkynyl, or an optionally substituted C₂-C₄ alkenyl wherein the substituents are independently C₁-C₆-alkyl and halogen; and x is 0 to 2.

45 8. A herbicidal composition comprising a herbicidally effective amount of a compound of Claim 1 in association with an agriculturally acceptable diluent.

Patentansprüche

50 1. Verbindung der folgenden Formel:

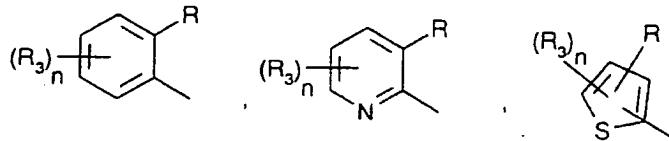


in der:

- W einen der folgenden Reste darstellt:

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wobei:

- jeder Rest R^3 unabhängig ein Wasserstoffatom, ein Halogenatom, eine C_1 - C_6 -Alkylgruppe, eine halogenhaltige C_1 - C_6 -Alkylgruppe, eine C_1 - C_6 -Alkoxygruppe, eine Cyanogruppe, eine C_1 - C_6 -Alkoxy carbonylgruppe, eine C_1 - C_6 -Alkylaminogruppe, eine Di- C_1 - C_6 -alkylaminogruppe oder $-N(R^5)-CO-R^6$ darstellt;
- n eine Zahl von 1 bis 4 ist und
- R einen der Reste CO_2R^4 , CHO , $CONH-O-CH_2CO_2R^4$, $COSR^4$, $CO_2CHR^5OCOR^6$ und $CH=NOR^4$ darstellt;

30

- R^1 einen Rest Ar , $(Z)_xY-Ar$ oder $Z-Ar$ darstellt, wobei:

- Ar ein gegebenenfalls substituierter Aryl- oder Heteroarylrest ist, der unter folgenden Gruppen ausgewählt ist: Phenyl, Pyridyl, Piperonyl, Naphthyl, Indolyl, Chinolyl, Isochinolyl, Chinoxaliny, Chinazoliny, Benzoxazolyl, Benzothiazolyl, Phenanthryl, Pyridyl-N-oxid, Anthranilyl, Pyrimidinyl, Pyrazinyl, Thienyl, Furyl, Pyrrolyl, Oxazolyl, Thiazolyl, Isoxazolyl, Isothiazolyl, Imidazolyl, Pyrazolyl, Oxadiazolyl und Thiodiazolyl, wobei die gegebenenfalls vorhandenen Substituenten dieser Aryl- beziehungsweise Heteroarylreste Phenoxygruppen, Halogenatome, C_1 - C_6 -Alkylgruppen, C_2 - C_6 -Alkenylgruppen, halogenhaltige C_1 - C_6 -Alkylgruppen, halogenhaltige C_1 - C_6 -Alkylthiogruppen, C_1 - C_6 -Alkylthiogruppen, C_1 - C_6 -Alkylsulfinylgruppen, C_1 - C_6 -Alkylsulfonylgruppen, C_1 - C_6 -Alkoxygruppen, halogenhaltige C_1 - C_6 -Alkoxygruppen, C_1 - C_6 -Alkoxy- C_1 - C_6 -alkylgruppen, Cyanogruppen, Nitrogruppen, C_1 - C_6 -Alkoxy carbonylgruppen, Aminogruppen, C_1 - C_6 -Alkylaminogruppen, Di- C_1 - C_6 -alkylaminogruppen oder Hydroxygruppen sind;
- Y O, S oder NH ist;
- Z eine gegebenenfalls substituierte C_1 - C_3 -Alkyl-, C_2 - C_4 -Alkinyl- oder eine gegebenenfalls substituierte C_2 - C_4 -Alkenylgruppe ist, deren Substituenten unabhängig voneinander unter C_1 - C_6 -Alkylgruppen und Halogenatomen ausgewählt sind, und
- x eine Zahl von 0 bis 2 ist;

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- Rest R^2 unabhängig ein Wasserstoffatom, ein Halogenatom, eine C_1 - C_6 -Alkylgruppe, eine C_2 - C_6 -Alkenylgruppe, eine halogenhaltige C_1 - C_6 -Alkylgruppe, eine C_1 - C_6 -Alkylthiogruppe, eine C_1 - C_6 -Alkylsulfinylgruppe, eine C_1 - C_6 -Alkylsulfonylgruppe, eine C_1 - C_6 -Alkoxygruppe, eine C_1 - C_6 -Alkoxy- C_1 - C_6 -alkylgruppe, eine Cyanogruppe, eine Nitrogruppe, eine Aminogruppe, eine C_1 - C_6 -Alkylaminogruppe, eine Di- C_1 - C_6 -alkylaminogruppe, CO_2R^4 oder eine Hydroxygruppe ist und
- m eine Zahl von 1 bis 2 ist;
- R^4 ein Wasserstoffatom, ein Alkali- oder Erdalkalimetallkation, ein Ammoniumkation, ein substituiertes Ammoniumkation, ein Phosphoniumkation, ein C_1 - C_6 -Alkylphosphoniumkation, ein Tri- C_1 - C_6 -alkylsulfoni umkation, ein Tri- C_1 - C_6 -alkylsulfoxoniumkation, eine C_1 - C_6 -Alkylgruppe, eine C_2 - C_6 -Alkenylgruppe, eine

halogenhaltige C₁-C₆-Alkylgruppe, eine C₁-C₆-Alkoxy-C₁-C₆-alkylgruppe oder ein gegebenenfalls substituierter Phenylrest oder ein gegebenenfalls substituierter Phenyl-C₁-C₆-alkylrest ist;

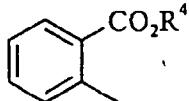
- 5 • R⁵ ein Wasserstoffatom oder eine C₁-C₆-Alkylgruppe ist und
- R⁶ eine C₁-C₆-Alkylgruppe, eine C₂-C₆-Alkenylgruppe, eine halogenhaltige C₁-C₆-Alkylgruppe, eine C₁-C₆-Alkoxy-C₁-C₆-alkylgruppe oder eine gegebenenfalls mit einer C₁-C₆-Alkylgruppe, einer halogenhaltigen C₁-C₆-Alkylgruppe, einem Halogenatom, einer C₁-C₆-Alkoxygruppe, einer C₂-C₆-Alkenylgruppe, einer Cyano- oder einer Nitrogruppe substituierte Phenyl- oder Phenyl-C₁-C₆-alkylgruppe ist;

mit der Maßgabe, daß:

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- (i) W nicht den Rest

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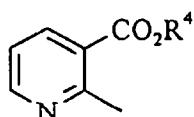


darstellt, wenn R¹ ein Phenylrest ist, und

20

- (ii) R² keine C₁-C₆-Alkyl- oder C₂-C₆-Alkenylgruppe ist, wenn R¹ eine gegebenenfalls substituierte Phenylgruppe ist und W folgenden Rest darstellt:

25

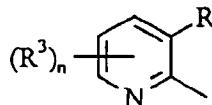


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2. Verbindung nach Anspruch 1, in der:

- W folgender Rest ist:

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wobei R einen der Reste CO₂R⁴, CHO, CONH-O-CH₂CO₂R⁴, COSR⁴, CO₂CHR⁵OCOR⁶ und CH=NOR⁴ darstellt;

45

- R¹ ein Rest Ar, Z_xYAr oder ZAr ist, wobei Ar ein gegebenenfalls substituierter Phenyl-, Pyridyl-, Naphthyl-, Indolyl-, Piperonyl-, Chinolyl-, Benzoxazolyl-, Benzothiazolyl-, Anthranilyl- oder Phenanthrylrest ist;
- R² ein Wasserstoffatom, CO₂R⁴ oder eine C₁-C₆-Alkoxygruppe ist und
- R³ ein Wasserstoffatom oder ein Halogenatom ist.

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3. Verbindung nach Anspruch 2, in der:

- R ein Rest CO₂CHR⁵OCOR⁶ oder CO₂R⁴ ist;
- R⁴ ein Wasserstoffatom, Na, NH₄, K, Ca, Mg, Trimethylsulfonium, Trimethylsulfoxonium oder Isopropylammonium ist und
- R¹ ein gegebenenfalls substituierter Phenyl-, Pyridyl-, Naphthyl-, Piperonyl- oder Chinolylrest oder ein Rest

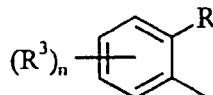
(Z)phenyl oder (Z)_xOphenyl ist, wobei Z eine C₁-C₃-Alkylgruppe, eine C₂-C₄-Alkinylgruppe oder eine C₂-C₄-Alkenylgruppe darstellt und wobei x gleich 1 ist.

4. Verbindung nach Anspruch 1, in der:

5

- W folgender Rest ist:

10



wobei R einen der Reste CO₂R⁴, CHO, CONH-O-CH₂CO₂R⁴, COSR⁴, CO₂CHR⁵OCOR⁶ und CH=NOR⁴ darstellt;

15

- R¹ ein Rest Ar, Z_xYAr oder ZAr ist, wobei Ar ein gegebenenfalls substituierter Phenyl-, Pyridyl-, Naphthyl-, Indolyl-, Piperonyl-, Chinolyl-, Benzoxazolyl-, Benzothiazolyl-, Anthranilyl- oder Phenanthrylrest ist;
- R² ein Wasserstoffatom, eine C₁-C₆-Alkylgruppe, CO₂R⁴ oder eine C₁-C₆-Alkoxygruppe ist und

20

- R³ ein Wasserstoffatom oder ein Halogenatom ist.

5. Verbindung nach Anspruch 2, in der:

25

- R ein Rest CO₂CHR⁵OCOR⁶ oder CO₂R⁴ ist;

30

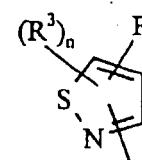
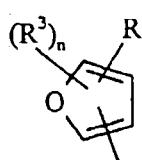
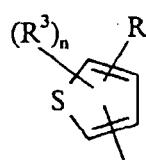
- R⁴ ein Wasserstoffatom, Na, NH₄, K, Ca, Mg, Trimethylsulfonium, Trimethylsulfoxonium oder Isopropylammonium ist;
- R² ein Wasserstoffatom ist und
- R¹ ein gegebenenfalls substituierter Phenyl-, Pyridyl-, Naphthyl-, Piperonyl- oder Chinolylrest oder ein Rest (Z)phenyl oder (Z)_xOphenyl ist, wobei x gleich 1 ist.

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6. Verbindung nach Anspruch 1, in der:

- W einer der folgenden Reste ist:

40



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wobei R einen der Reste CO₂R⁴, CHO, CONH-O-CH₂CO₂R⁴, COSR⁴, CO₂CHR⁵OCOR⁶ und CH=NOR⁴ darstellt;

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- R¹ ein Rest Ar, Z_xOAr oder ZAr ist, wobei Ar ein gegebenenfalls substituierter Phenyl-, Pyridyl-, Naphthyl-, Indolyl-, Piperonyl-, Chinolyl-, Benzoxazolyl-, Benzothiazolyl- oder Phenanthrylrest ist;
- R² ein Wasserstoffatom ist und
- R³ ein Wasserstoffatom oder ein Halogenatom ist.

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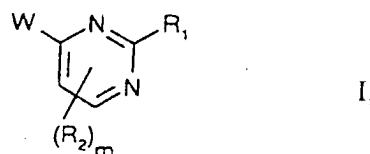
7. Verbindung nach Anspruch 1, in der:

- R ein Rest CO_2R^4 ist;
- R^4 ein Wasserstoffatom, Na, NH4, K, Ca, Mg, Trimethylsulfonium, Trimethylsulfoxonium oder Isopropylammonium ist und
- R1 ein Rest Ar, (Z)_xY-Ar oder ZAr ist, wobei:
 - Ar ein gegebenenfalls substituierter Phenyl-, Pyridyl-, Naphthyl-, Indolyl-, Piperonyl-, Chinolyl-, Benzoxazolyl-, Benzothiazolyl-, Anthranilyl- oder Phenanthrylrest ist, dessen gegebenenfalls vorhandene Substituenten Halogenatome, $\text{C}_1\text{-C}_6$ -Alkylgruppen, $\text{C}_2\text{-C}_6$ -Alkenylgruppen, halogenhaltige $\text{C}_1\text{-C}_6$ -Alkylgruppen, $\text{C}_1\text{-C}_6$ -Alkylthiogruppen, $\text{C}_1\text{-C}_6$ -Alkylsulfinylgruppen, $\text{C}_1\text{-C}_6$ -Alkylsulfonylgruppen, $\text{C}_1\text{-C}_6$ -Alkoxygruppen, halogenhaltige $\text{C}_1\text{-C}_6$ -Alkoxygruppen, $\text{C}_1\text{-C}_6$ -Alkoxy-C₁-C₆-alkylgruppen, Cyanogruppen, Nitrogruppen, $\text{C}_1\text{-C}_6$ -Alcoxycarbonylgruppen, Aminogruppen, $\text{C}_1\text{-C}_6$ -Alkylaminogruppen, Di- $\text{C}_1\text{-C}_6$ -alkylaminogruppen oder Hydroxygruppen sind,
 - Y O, S oder NH ist;
 - Z eine gegebenenfalls substituierte $\text{C}_1\text{-C}_3$ -Alkyl-, $\text{C}_2\text{-C}_4$ -Alkinyl- oder $\text{C}_2\text{-C}_4$ -Alkenylgruppe ist, deren Substituenten unabhängig voneinander unter $\text{C}_1\text{-C}_6$ -Alkylgruppen und Halogenatomen ausgewählt sind, und
 - x eine Zahl von 0 bis 2 ist.

20 8. Herbizide Zusammensetzung, die eine herbizid wirksame Menge einer Verbindung nach Anspruch 1 in Kombination mit einem landwirtschaftlich akzeptablen Verdünnungsmittel umfasst.

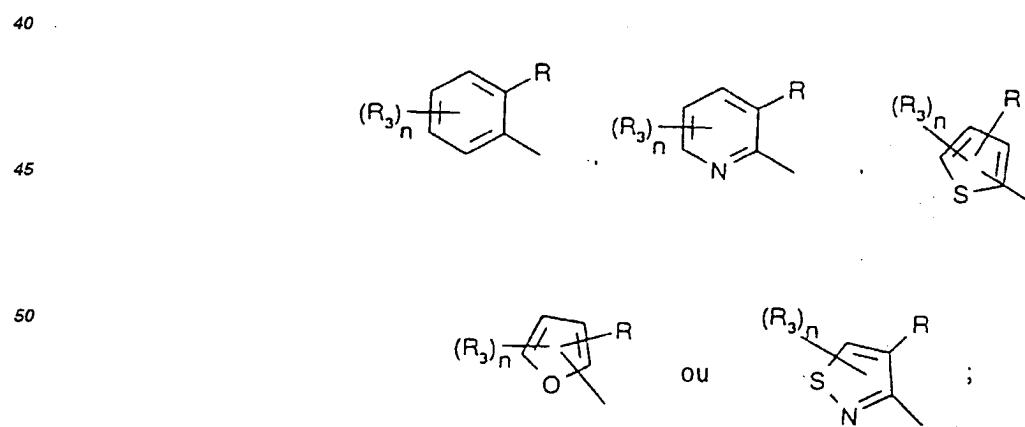
Revendications

25 1. Un composé de formule



35 où

W signifie



55 R³ signifie indépendamment l'hydrogène, un halogène, un groupe $\text{C}_1\text{-C}_6$ -alkyle, $\text{C}_1\text{-C}_6$ -haloalkyle, $\text{C}_1\text{-C}_6$ -alcoxy, cyano, $\text{C}_1\text{-C}_6$ -alcoxycarbonyle, $\text{C}_1\text{-C}_6$ -alkylamino, di- $\text{C}_1\text{-C}_6$ -alkylamino, ou bien -N(R⁵)-CO-R⁶;

n signifie 1 à 4;

R signifie

CO_2R^4 , CHO , $\text{CONH-O-CH}_2\text{CO}_2\text{R}^4$, COSR^4 , $\text{CO}_2\text{CHR}^5\text{OCOR}^6$ ou CH=NOR^4 ;

5 R¹ signifie Ar, (Z)_xY-Ar, ou bien ZAr où Ar signifie un groupe aryle ou hétéroaryle éventuellement substitués choisi parmi le groupe comprenant les groupes phényle, pyridyle, pipéronyle, naphtyle, indolyle, quinolyle, isoquinolyle, quinoxalinyle, quinazolinyle, benzoxazolyle, benzothiazolyle, phénanthryle, pyridyl-N-oxyde, anthranilyle, pyrimidinyle, pyrazinyle, thiényle, furyle, pyrrolyle, oxazolyle, thiazolyle, isoxazolyle, isothiazolyle, imidazolyle, pyrazolyle, oxadiazolyle et thiadiazolyle; où les substituants éventuels signifient un groupe phénoxy, halo, un groupe $\text{C}_1\text{-C}_6$ -alkyle, $\text{C}_2\text{-C}_6$ -alcényle, $\text{C}_1\text{-C}_6$ -haloalkyle, $\text{C}_1\text{-C}_6$ -haloalkylthio, $\text{C}_1\text{-C}_6$ -alkylthio, $\text{C}_1\text{-C}_6$ -alkylsulfinyle, $\text{C}_1\text{-C}_6$ -alkylsulfonyle, $\text{C}_1\text{-C}_6$ -alcoxy, $\text{C}_1\text{-C}_6$ -haloalcoxy, $\text{C}_1\text{-C}_6$ -alcoxy- $\text{C}_1\text{-C}_6$ -alkyle, cyano, nitro, $\text{C}_1\text{-C}_6$ -alcoxy carbonyle, amino, $\text{C}_1\text{-C}_6$ -alkylamino, di- $\text{C}_1\text{-C}_6$ -alkylamino ou hydroxy; Y signifie O, S ou NH; Z signifie un groupe $\text{C}_1\text{-C}_3$ -alkyle, $\text{C}_2\text{-C}_4$ -alcynyle éventuellement substitués ou un groupe $\text{C}_2\text{-C}_4$ -alcényle éventuellement substitué où les substituants signifient indépendamment un groupe $\text{C}_1\text{-C}_6$ -alkyle et un halogène;

10 x signifie 0 à 2;

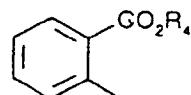
20 R² signifie indépendamment l'hydrogène, un halogène, un groupe $\text{C}_1\text{-C}_6$ -alkyle, $\text{C}_2\text{-C}_6$ -alcényle, $\text{C}_1\text{-C}_6$ -haloalkyle, $\text{C}_1\text{-C}_6$ -alkylthio, $\text{C}_1\text{-C}_6$ -alkylsulfinyle, $\text{C}_1\text{-C}_6$ -alkylsulfonyle, $\text{C}_1\text{-C}_6$ -alcoxy, $\text{C}_1\text{-C}_6$ -alcoxy- $\text{C}_1\text{-C}_6$ -alkyle, cyano, nitro, amino, $\text{C}_1\text{-C}_6$ -alkylamino, di- $\text{C}_1\text{-C}_6$ -alkylamino, CO_2R^4 ou hydroxy;

25 m signifie 1 à 2;

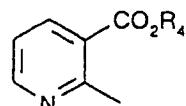
30 R⁴ signifie l'hydrogène, un cation de métal alcalin ou de métal alcalino-terreux, un cation ammonium, un cation ammonium substitué, un cation phosphonium, un cation $\text{C}_1\text{-C}_6$ -alkylphosphonium, un cation tri- $\text{C}_1\text{-C}_6$ -alkylsulfonium, un cation tri- $\text{C}_1\text{-C}_6$ -alkylsulfoxonium, un groupe $\text{C}_1\text{-C}_6$ -alkyle, $\text{C}_2\text{-C}_6$ -alcényle, $\text{C}_1\text{-C}_6$ -haloalkyle, $\text{C}_1\text{-C}_6$ -alcoxy- $\text{C}_1\text{-C}_6$ -alkyle, un groupe phényle éventuellement substitué ou phényl- $\text{C}_1\text{-C}_6$ -alkyle éventuellement substitué;

35 R⁵ signifie l'hydrogène ou un groupe $\text{C}_1\text{-C}_6$ -alkyle; et

40 R⁶ signifie un groupe $\text{C}_1\text{-C}_6$ -alkyle, $\text{C}_2\text{-C}_6$ -alcényle, $\text{C}_1\text{-C}_6$ -haloalkyle, $\text{C}_1\text{-C}_6$ -alcoxy- $\text{C}_1\text{-C}_6$ -alkyle, phényle éventuellement substitué ou phényl- $\text{C}_1\text{-C}_6$ -alkyle éventuellement substitué, où les substituants éventuels signifient le groupe $\text{C}_1\text{-C}_6$ -alkyle, $\text{C}_1\text{-C}_6$ -haloalkyle, un halogène, $\text{C}_1\text{-C}_6$ -alcoxy, $\text{C}_2\text{-C}_6$ -alcényle, cyano et nitro et avec la condition que (i) lorsque R¹ signifie un groupe phényle; W ne signifie pas

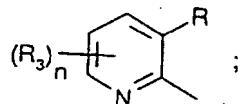


50 et (ii) lorsque R¹ signifie un groupe phényle éventuellement substitué et W signifie



55 R² ne signifie pas un groupe $\text{C}_1\text{-C}_6$ -alkyle ou $\text{C}_2\text{-C}_6$ -alcényle.

2. Un composé selon la revendication 1, où W signifie



R signifie

CO_2R^4 ; CHO ; $\text{CONH-O-CH}_2\text{CO}_2\text{R}^4$; COSR^4 ; $\text{CO}_2\text{CHR}^5\text{OCOR}^6$ ou CH=NOR^4 ;

10 R^1 signifie Ar , Z_xYAr ou ZAr où Ar signifie un groupe phényle, pyridyle, naphtyle, indolyle, pipéronyle, quinolyle, benzoxazolyle, benzothiazolyle, anthranilyle ou phénanthryle éventuellement substitués;

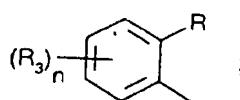
R^2 signifie l'hydrogène, CO_2R^4 ou $\text{C}_1\text{-C}_6\text{-alcoxy}$; et

15 R^3 signifie l'hydrogène ou un halogène.

20 3. Un composé selon la revendication 2, où R signifie $\text{CO}_2\text{CHR}^5\text{OCOR}^6$ ou CO_2R^4 ; R^4 signifie l'hydrogène, Na , NH_4 , K , Ca , Mg , triméthylsulfonium, triméthylsulfoxonium ou isopropylammonium, R^1 signifie un groupe phényle, pyridyle, naphtyle, pipéronyle, quinolyle, (Z)phényle, ou (Z)_xOphényle éventuellement substitués; Z signifie un groupe $\text{C}_1\text{-C}_3\text{-alkyle}$, $\text{C}_2\text{-C}_4\text{-alcynyle}$ ou $\text{C}_2\text{-C}_4\text{-alcényle}$ et x signifie 1.

4. Un composé selon la revendication 1, où W signifie

25



30

R signifie

CO_2R^4 ; CHO ; $\text{CONH-O-CH}_2\text{CO}_2\text{R}^4$; COSR^4 ; $\text{CO}_2\text{CHR}^5\text{OCOR}^6$ ou CH=NOR^4 ;

35 R^1 signifie Ar , Z_xYAr ou ZAr où Ar signifie un groupe phényle, pyridyle, naphtyle, indolyle, pipéronyle, quinolyle, benzoxazolyle, benzothiazolyle, anthranilyle ou phénanthryle éventuellement substitués;

R^2 signifie l'hydrogène, un groupe $\text{C}_1\text{-C}_6\text{-alkyle}$, CO_2R^4 ou $\text{C}_1\text{-C}_6\text{-alcoxy}$; et

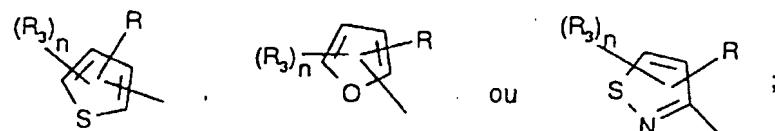
40 R^3 signifie l'hydrogène ou un halogène.

45 5. Un composé selon la revendication 2, où R signifie $\text{CO}_2\text{CHR}^5\text{OCOR}^6$ ou CO_2R^4 ; R^4 signifie l'hydrogène, Na , NH_4 , K , Ca , Mg , triméthylsulfonium, triméthylsulfoxonium ou isopropylammonium; R^2 signifie l'hydrogène et R^1 signifie un groupe phényle, pyridyle, naphtyle, pipéronyle, quinolyle, (Z)phényle, ou (Z)_xOphényle éventuellement substitués et x signifie 1.

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6. Un composé selon la revendication 1, où W signifie

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R signifie

CO_2R^4 ; CHO ; $\text{CONH-O-CH}_2\text{CO}_2\text{R}^4$; COSR^4 ; $\text{CO}_2\text{CHR}^5\text{OCOR}^6$ ou CH=NOR^4 ;

5 R¹ signifie Ar, Z_xOAr ou ZAr où Ar signifie un groupe phényle, pyridyle, naphtyle, indolyle, pipéronyle, quinolyle, benzoxazolyle, benzothiazolyle ou phénanthryle éventuellement substitués;

10 R² signifie l'hydrogène; et

15 R³ signifie l'hydrogène ou un halogène.

20 7. Un composé selon la revendication 1, où

25 R signifie CO₂R⁴;

R⁴ signifie l'hydrogène, Na, NH₄, K, Ca, Mg, triméthylsulfonium, triméthylsulfoxonium ou isopropylammonium;

30 15 R¹ signifie Ar, (Z)_xY-Ar ou ZAr où Ar signifie un groupe phényle, pyridyle, naphtyle, indolyle, pipéronyle, quinolyle, benzoxazolyle, benzothiazolyle, anthraniyle ou phénanthryle éventuellement substitués où les substituants éventuels signifient halo, C₁-C₆-alkyle, C₂-C₆-alcényle, C₁-C₆-haloalkyle, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyle, C₁-C₆-alkylsulfonyle, C₁-C₆-alcoxy, C₁-C₆-haloalcoxy, C₁-C₆-alcoxy-C₁-C₆-alkyle, cyano, nitro, C₁-C₆-alcoy carbonyle, amino, C₁-C₆-alkylamino, di-C₁-C₆-alkylamino ou hydroxy; Y signifie O, S ou NH; Z signifie un groupe C₁-C₃-alkyle, C₂-C₄-alcynyle éventuellement substitués ou un groupe C₂-C₄-alcényle éventuellement substitué où les substituants signifient indépendamment un groupe C₁-C₆-alkyle et un halogène; et x signifie 0 à 2.

35 8. Une composition herbicide comprenant une quantité efficace du point de vue herbicide d'un composé selon la revendication 1 en association avec un diluant acceptable en agriculture.

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